WEST Search History

DATE: Thursday, April 25, 2002

Set Name side by side	Query	Hit Count	Set Name result set
	DB=USPT,PGPB,JPAB,EPAB,DWPI; PLUR=YES; OP=AND		
L1	206037 or 206-037 or (206 near 307)	54	L1
L2	L1 and bayer	3	L2
L3	L1 and amide	4	L3
L4	4855283.pn.	3	L4
L5	l1 and (pylori or helicobacter or hpylori or pyloris or pyloridis)	0	L5
L6	l1 and pathogen	7	L6
L7	l1 and vaccin$	3	L7
L8	kinase-c or (kinase near c) or kinasec	4248	L8
L9	L8 and l1	1	L9
L10	L8 and (lipophil$ or lipo-phil$ or cholesterol$ or (cation$ near4 $lipid))	1341	L10
L11	L8 same (antagonist or antagon$ or inhibit$ or block$ or inactivat$)	2091	L11
L12	L11 same (lipophil$ or lipo-phil$ or cholesterol$ or (cation$ near4 $lipid))	57	L12
L13	monosialoganglioside or mono-sialo-ganglioside or mono-sialoganglioside	311	L13
L14	l13 and (pylori or helicobacter or hpylori or pyloris or pyloridis)	2	L14
L15	(gm1 or gm-1)same adjuvant	31	L15

L16	(gm1 or gm-1)same liposom$	87	L16
L17	L16 or l15	116	L17
L18	L17 and (pylori or helicobacter or hpylori or pyloris or pyloridis)	3	L18
L19	guy.in.	15715	L19
L20	L19 and (pylori or helicobacter or hpylori or pyloris or pyloridis)	18	L20
L21	('6043390'| '5900246'| '20020025337'| '5824812'| '6316421'| '5925623'| '5892071'| '6319516'| '6361791'| '20010041683'| 'US 6126938A'| '6126938')[ABPN1,NRPN,PN,WKU]	24	L21
L22	('6043390'| '5900246'| '20020025337'| '5824812'| '6316421'| '5925623'| '5892071'| '6319516'| '6361791'| '20010041683'| 'US 6126938A'| '6126938')[ABPN1,NRPN,PN,WKU]	24	L22
L23	dc-chol	202	L23
L24	L23 or dcchol or (dc near2 chol)	207	L24
L25	L24 and (pylori or helicobacter or hpylori or pyloris or pyloridis)	5	L25

END OF SEARCH HISTORY

protein kinase C inhibitors:
(e.g., monosialoganglioside derivatives),
dihydrosphingasine.
a soybean-derived isoflavone tyrosine kinase C inhibitor,
microalgal,
N469 protein-1 (KCIP-1);
Liga-20;
colchicine, sphingosine (a protein kinase C inhibitor, Sigma, St. Louis, Mo.)

cationic amphiphilic lipids useful in formulation of nucleolipid particles for polynucleotide delivery include the monovalent lipids DOTAP, DOTMA, and DC-Chol, the polyvalent lipids LipofectAMINE, DOGS,
Transfectam and other amphiphilic polyamines. These agents may be prepared with helper lipids such as Dioleoyl Phosphatidyl Ethanolamine or with adjuvants including cholera derived molecules including cholera toxin.

WEST Search History

DATE: Thursday, April 25, 2002

Set Name side by side	Query
	DB=USPT; PLUR=YES; OP=AND
L1	dc-chol or dcchol
L2	L1.clm.
L3	1,2-didecanoyl-1-N,N,-dimethylamino propane, 3-beta-[N-[(N',N'-dimethylamino)ethane]carbamoyl]cholesterol
L4	1,2-didecanoyl-1-N,N,-dimethylamino propane,3-beta-[N-[(N',N'-dimethylamino)ethane]carbamoyl]choleste
L5	1,2-didecanoyl-1-N,N,-dimethylamino propane,3-beta-[N-[(N',N'-dimethylamino)ethane]carbamoyl]choleste
L6	1,2-didecanoyl-1-N,N,-dimethylamino propane, 3-beta-[N-[(N',N'-dimethylamino)ethane]carbamoyl]cholesterol
L7	L.ab.
L8	L1.ab.
L9	l1.ti.
L10	l1 and (5,283,185 or epand or huang or bottega or cationic)
L11	l1 and (pylori or helicobacter or hpylori or h-pylori or pyloris or pylorid or helicobact$)
L12	3-beta-[N-[(N',N'-dimethylamino)ethane]carbamoyl]cholesterol

END OF SEARCH HISTORY

WEST

Search Results - Record(s) 1 through 2 of 2 returned.

L6: Entry 1 of 2 File: USPT Aug 1, 2000

US-PAT-NO: 6096291
DOCUMENT-IDENTIFIER: US 6096291 A

TITLE: Mucosal administration of substances to mammals
DATE-ISSUED: August 1, 2000

US-CL-CURRENT: 424/1.69; 424/1.11, 424/1.65, 424/184.1, 424/9.2
INT-CL: [7] A61 K 51/00, A61 M 36/14

L6: Entry 2 of 2 File: USPT Dec 7, 1999

US-PAT-NO: 5997881
DOCUMENT-IDENTIFIER: US 5997881 A

TITLE: Method of making non-pyrogenic lipopolysaccharide or A
DATE-ISSUED: December 7, 1999

US-CL-CURRENT: 424/234.1; 424/240.1, 424/241.1, 424/245.1, 424/249.1, 424/252.1, 424/253.1, 424/258.1, 424/259.1, 424/260.1, 424/261.1, 435/170, 435/243
INT-CL: [6] A61 K 39/02

WEST

Generate Collection Print

L4: Entry 8 of 15 File: USPT Aug 1, 2000

DOCUMENT-IDENTIFIER: US 6096291 A
TITLE: Mucosal administration of substances to mammals

Abstract Paragraph Left (1):
A novel method for the mucosal administration of a substance to a mammal is provided. The method comprises contacting a mucosal surface of the mammal with the substance in combination with a Biovector. The Biovector has a core that comprises a natural polymer, or a derivative or a hydrolysate of a natural polymer, or a mixture thereof. A preferred natural polymer is a polysaccharide or an oligosaccharide. The core is optionally coated with an amphiphilic compound, such as a lipid.

Detailed Description Paragraph Right (33):
A bacterium against which a vaccine according to the present invention is effective may be any bacterium capable of causing disease in mammals. For example, the bacterium may be a member of the genus Neisseria, such as N. gonorrhoeae and N. meningitidis; Aerobacter; Pseudomonas; Porphyromonas, such as P. gingivalis; Salmonella; Escherichia, such as E. coli; Pasteurella; Shigella; Bacillus; Helibacter, such as H. pylori; Corynebacterium, such as C. diphteriae; Clostridium, such as C. tetanii; Mycobacterium, such as M. etuberculosis and M. leprae; Yersinia, such as Y. pestis; Staphylococcus; Bordetella, such as B. pertussis; Brucella, such as B. abortus; Vibrio, such as V. cholerae; and Streptococcus, such as mutants Streptococci.

WEST Search History

DATE: Thursday, April 25, 2002

Set Name side by side	Query	Hit Count	Set Name result set
	DB=USPT; PLUR=YES; OP=AND		
L1	amphiphilic near3 (lipid or polyamine)	477	L1
L2	DOTAP or DOTMA or DC-Chol	826	L2
L3	L2 or l1	1264	L3
L4	L3 and (pylori or helicobacter or hpylori or h-pylori or helicobac$ or pyloris or pyloridis or pylon)	15	L4
L5	('5997881'| '6096291')[PN]	2	L5
L6	('5997881'| '6096291')[PN]	2	L6

END OF SEARCH HISTORY

WEST

End of Result Set

Generate Collection | Print

L20: Entry 18 of 18 File: DWPI Oct 10, 1996

DERWENT-ACC-NO: 1996-464768
DERWENT-WEEK: 200055

TITLE: Compsns. for inducing mucosal immune response - comprising several antigenic components for admin. by different routes

Basic Abstract Text:
USE - The vaccine compsn. is esp. for protecting against Helicobacter pylori infections of the gastrointestinal tract.

Equivalent Abstract Text:
USE - The vaccine compsn. is esp. for protecting against Helicobacter pylori infections of the gastrointestinal tract.

Inventor Name:
GUY, B

Basic Abstract Text (2):
USE - The vaccine compsn. is esp. for protecting against Helicobacter pylori infections of the gastrointestinal tract.

Equivalent Abstract Text (2):
USE - The vaccine compsn. is esp. for protecting against Helicobacter pylori infections of the gastrointestinal tract.

Inventor Name (1):
GUY, B

WEST

Generate Collection Print

L20: Entry 5 of 18 File: USPT Oct 3, 2000

DOCUMENT-IDENTIFIER: US 6126938 A
TITLE: Methods for inducing a mucosal immune response

INVENTOR (1):
Guy; Bruno

Brief Summary Paragraph Right (15):
Recently, Czinn et al., Vaccine (1993) 11 : 637 have proposed in outline a method of vaccination against Helicobacter pylori, the pathogenic agent of a large number of stomach ulcers. Germ-free mice received a sonicate of H. felis with cholera toxin as adjuvant, via the intragastric route (sonicate administered directly by intubation into the stomach). After a challenge with H. felis, the immunized mice are found to have been protected.

Brief Summary Paragraph Right (54):
According to a preferred embodiment, the antigen of a bacterium which is pathogenic for the host mammal is an H. pylori antigen, for example the apoenzyme form of H. pylori urease or one of the subunits ureA or ureB of this same urease.

Brief Summary Paragraph Right (55):
More generally from the standpoint of the method of immunization, and at the same time more precisely targeted from the standpoint of the antigen, it may be pointed out that the subject of the invention is also the use of a DNA fragment coding for an H. pylori antigen in the manufacture of a composition for preventing or treating an H. pylori infection, and for nasal or nasobuccal administration. To this end, the DNA fragment used as vaccination agent meets the criteria stated above.

Brief Summary Paragraph Right (59):
Such a composition, when it comprises an antigen of a pathogenic organism which infects the gastric or intestinal mucosa, is useful, in particular, in that it protects the host mammal against the infection in question, in particular affording long-lasting protection, bringing into play memory T and B lymphocytes. Possible infections are those caused by H. pylori, V. cholerae, Shigella flexneri, Shigella sonnei, Salmonella enteritidis, Clostridium difficile, Yersinia enterocolitica, and enterotoxigenic and enteropathogenic E. coli. As regards the antigen, the latter can be the pathogenic agent itself in killed, lysed or attenuated form, or alternatively antigenic components of this pathogen, such as a capsular polysaccharide, or membrane antigens in purified form, or a polypeptide characteristic of this pathogen, either directly purified from the pathogen or obtained by recombinant DNA techniques.

Brief Summary Paragraph Right (60):

For example, in the case of a composition for preventing H. pylori infections, an antigen of choice may be the apoenzyme of the urease, composed of the subunits A and B, for which the corresponding DNA fragments are described in, e.g., Labigne et al., J. Bact. (1991) 173 (6) : 1920, or one of the subunits of the apoenzyme, or the cytotoxin (WO93/18150), or alternatively proteins of the adhesin family (proteins capable of binding to the receptors of the host cells and which become capable of mediating a coupling of the pathogen to the host cells and of initiating the infectious process), or iron-regulated proteins.

Drawing Description Paragraph Right (6):
FIG. 5 depicts the plasmid pTG8665, used to produce the apoenzyme of H. pylori urease.

Drawing Description Paragraph Right (11):
FIG. 10 depicts in diagrammatic form the optical density of the gastric medium of mice after immunization, where appropriate, with the apoenzyme of H. pylori urease and challenge. First column: uninfected mice; second column: mice which have received empty liposomes, by subcutaneous primary immunization followed by two boosters via the (nasal+intragastric) routes; third column: mice which have received liposomes with urease, by subcutaneous primary immunization followed by two boosters via the (nasal+intragastric) routes; fourth column: mice which have received liposomes with urease, by administration repeated three times via the (nasal+intragastric) routes. In all cases, DC-Chol liposomes are used.

Detailed Description Paragraph Right (15):
Vaccination kit for H. pylori infections

Detailed Description Paragraph Right (16):
Three preparations containing the apoenzyme of H. pylori urease, each formulated in a different way depending on the method of administration envisaged, are brought together in a kit.

Detailed Description Paragraph Right (30):
The apoenzyme form of H. pylori urease is encapsulated in liposomes. These liposomes have an average diameter of 100 nm and a protein content of 60 .mu.g/mg of lipid.

Detailed Description Paragraph Right (36):
Vaccination kits for H. pylori infections (DNA coding for the urease subunit ureB, used as vaccinating agent)

Detailed Description Paragraph Right (55):
On days 14, 35 and 56, serum samples are drawn from each of the mice. The production of anti-urease antibodies is tested for by ELISA (a purified soluble extract of H. pylori is used).

Detailed Description Paragraph Right (57):
Induction of a mucosal immune response against H. pylori urease

Detailed Description Paragraph Right (68):
15 days after the last administration, the mice are challenged by intragastric gavage with 10.sup.8 microbes of an H. pylori strain adapted to mice. One month after challenge, the stomachs are removed and a test of urease activity (Jatrox ND) is performed on 1/4 of the stomach. 4 hours after removal, the optical density of the medium is measured at 550 nm. The results are presented in FIG. 10.

Detailed Description Paragraph Type 2 (14):
82 mg of lipid mixture composed of cholesterol, dipalmitoylphosphatidylcholine and dimyristoylphosphatidylglycerol sodium salt in molar proportions of 5:4:1, obtained by lyophilization of an ethanolic solution (D3F --France), are taken up with 10 ml of 10 mM Hepes buffer, 150 mM NaCl, pH 7.4

containing 3.6 mg/ml of the recombinant apoenzyme form of H. pylori urease. After 4 hours of stirring at 45.degree. C., the suspension is microfluidized by 5 runs at 500 kPa in an M110S microfluidizer (Microfluidics Co.) to form a homogeneous population of predominantly unilamellar liposomes approximately 100 nm in diameter containing urease. These liposomes are purified by gel filtration (column of Sepharose CL-4B, Pharmacia). The degree of encapsulation of the urease, measured by protein assay using the Micro BCA kit (Pierce) is 14.5%. If necessary, the liposome suspension is concentrated by ultrafiltration in a Novacell cell (Filtron) possessing an exclusion limit of 10 kD.

CLAIMS:

7. A method according to claim 6, wherein the antigen is Heliiobacter pylori antigen.

8. A method according to claim 7, wherein the antigen is the apoenzyme form of H. pylori urease.

10. A method according to claim 9, wherein the antigen is Helicobacter pylori antigen.

11. A method according to claim 10, wherein the antigen is the apoenzyme form of H. pylori urease.

WEST

Search Results - Record(s) 1 through 24 of 24 returned.

L21: Entry 1 of 24 File: PGPB Feb 28, 2002

PGPUB-DOCUMENT-NUMBER: 20020025337
DOCUMENT-IDENTIFIER: US 20020025337 A1

TITLE: LIPID VEHICLE DRUG DELIVERY COMPOSITION CONTAINING VITAMIN E
PUBLICATION-DATE: February 28, 2002

US-CL-CURRENT: 424/450
INT-CL: [07] A61 K 9/127

L21: Entry 2 of 24 File: PGPB Nov 15, 2001

PGPUB-DOCUMENT-NUMBER: 20010041683
DOCUMENT-IDENTIFIER: US 20010041683 A1

TITLE: Cocoa sphingolipids, cocoa extracts containing sphingolipids and methods of making and using same
PUBLICATION-DATE: November 15, 2001

US-CL-CURRENT: 514/54; 424/440, 514/42, 514/78
INT-CL: [07] A61 K 31/7008, A61 K 31/715, A61 K 31/685, A61 K 9/68

L21: Entry 3 of 24 File: USPT Mar 26, 2002

US-PAT-NO: 6361791
DOCUMENT-IDENTIFIER: US 6361791 B1

TITLE: Stable aqueous dispersions including cationic lipids
DATE-ISSUED: March 26, 2002

US-CL-CURRENT: 424/450
INT-CL: [7] A61 K 9/127

L21: Entry 4 of 24 File: USPT Nov 20, 2001

US-PAT-NO: 6319516

DOCUMENT-IDENTIFIER: US 6319516 B1

TITLE: Acid salts of cholesterol
DATE-ISSUED: November 20, 2001

US-CL-CURRENT: 424/450; 424/1.21, 424/9.321, 424/9.51, 428/402.2
INT-CL: [7] A61 K 9/127

L21: Entry 5 of 24 File: USPT Nov 13, 2001

US-PAT-NO: 6316421
DOCUMENT-IDENTIFIER: US 6316421 B1

TITLE: Pentaerythritol lipid derivatives and nuleic-acid complexes
DATE-ISSUED: November 13, 2001

US-CL-CURRENT: 514/44; 536/22.1, 536/23.1, 560/156, 560/169, 560/171, 560/224
INT-CL: [7] A61 K 31/70, A01 N 43/04

L21: Entry 6 of 24 File: USPT Oct 3, 2000

US-PAT-NO: 6126938
DOCUMENT-IDENTIFIER: US 6126938 A

TITLE: Methods for inducing a mucosal immune response
DATE-ISSUED: October 3, 2000

US-CL-CURRENT: 424/184.1; 424/199.1, 424/234.1, 424/278.1, 424/282.1, 424/812, 514/44
INT-CL: [7] A61 K 39/00

L21: Entry 7 of 24 File: USPT Mar 28, 2000

US-PAT-NO: 6043390
DOCUMENT-IDENTIFIER: US 6043390 A

TITLE: Pentaerythritol lipid derivatives and nucleic-acid complexes
DATE-ISSUED: March 28, 2000

US-CL-CURRENT: 560/169; 560/156, 560/171, 560/224
INT-CL: [7] C07 C 229/04, C07 C 229/24, C07 C 229/26

L21: Entry 8 of 24 File: USPT Jul 20, 1999

US-PAT-NO: 5925623
DOCUMENT-IDENTIFIER: US 5925623 A

TITLE: Formulations and methods for generating active cytofectin: polynucleotide transfection complexes
DATE-ISSUED: July 20, 1999

US-CL-CURRENT: 514/44; 435/69.1, 436/501
INT-CL: [6] A01 N 43/04

L21: Entry 9 of 24 File: USPT May 4, 1999

US-PAT-NO: 5900246
DOCUMENT-IDENTIFIER: US 5900246 A

TITLE: Drug incorporating and releasing polymeric coating for bioprosthesis
DATE-ISSUED: May 4, 1999

US-CL-CURRENT: 424/429; 424/427, 427/2.1, 427/2.24, 427/2.28, 604/264, 604/915, 623/1.42
INT-CL: [6] A61 M 25/00, A61 M 25/10, A61 F 2/24, G02 C 7/04

L21: Entry 10 of 24 File: USPT Apr 6, 1999

US-PAT-NO: 5892071
DOCUMENT-IDENTIFIER: US 5892071 A

TITLE: Cationic transport reagents
DATE-ISSUED: April 6, 1999

US-CL-CURRENT: 554/105; 560/179, 560/180, 560/190, 564/511
INT-CL: [6] C07 C 229/30

L21: Entry 11 of 24 File: USPT Oct 20, 1998

US-PAT-NO: 5824812
DOCUMENT-IDENTIFIER: US 5824812 A

TITLE: Polyfunctional cationic cytofectins, formulations and methods for generating active cytofectin: polynucleotide transfection complexes
DATE-ISSUED: October 20, 1998

US-CL-CURRENT: 554/110; 554/103, 554/104, 554/108, 554/109, 564/281, 564/291, 564/292, 564/295
INT-CL: [6] C07 C 101/00

L21: Entry 12 of 24 File: EPAB Jul 20, 1999

PUB-NO: US005925623A
TITLE: Formulations and methods for generating active cytofectin: polynucleotide transfection complexes
PUBN-DATE: July 20, 1999

INT-CL (IPC): A01 N 43/04

L21: Entry 13 of 24 File: EPAB May 4, 1999

PUB-NO: US005900246A
TITLE: Drug incorporating and releasing polymeric coating for bioprosthesis
PUBN-DATE: May 4, 1999

INT-CL (IPC): A61 M 25/00; A61 M 25/10, A61 F 2/24, G02 C 7/04
EUR-CL (EPC): A61L031/00 ; A61L027/00, A61L027/00 , A61L029/00 , A61L029/00 , A61L031/00

L21: Entry 14 of 24 File: EPAB Apr 6, 1999

PUB-NO: US005892071A
TITLE: Cationic transport reagents
PUBN-DATE: April 6, 1999

INT-CL (IPC): C07 C 229/30
EUR-CL (EPC): C12N015/88

L21: Entry 15 of 24 File: EPAB Oct 20, 1998

PUB-NO: US005824812A
TITLE: Polyfunctional cationic cytofectins, formulations and methods for generating active cytofectin: polynucleotide transfection complexes
PUBN-DATE: October 20, 1998

INT-CL (IPC): C07 C 101/00
EUR-CL (EPC): A61K009/127 ; A61K047/48, C07C217/28 , C07C217/42 , C07C219/06 , C07C219/08 , C07H021/00

L21: Entry 16 of 24 File: DWPI Nov 20, 2001

DERWENT-ACC-NO: 2002-096560
ABSTRACTED-PUB-NO: US 6319516B

TITLE: New cationic amphiphile useful for facilitating the transfer of nucleic acids into cells comprises a

lipophilic group, linker group, a spacer arm and a cationic amino group

INT-CL (IPC): A61 K 9/127
Derwent-CL (DC): B01, B04 , D16
CPI Codes: B12-M04; B12-M05; B14-H01; B14-J01; B14-J01A4; B14-K01; B14-S03; D05-H19;

L21: Entry 17 of 24 File: DWPI Nov 13, 2001

DERWENT-ACC-NO: 1999-620200
ABSTRACTED-PUB-NO: US 6043390A

TITLE: New amphiphilic cationic lipid pentaerythritol derivatives, useful for, e.g. transfection of nucleic acids into cells

INT-CL (IPC): A01 N 43/04, A61 K 31/70, A61 K 48/00, C07 C 229/04, C07 C 229/24, C07 C 229/26, C12 N 15/00
Derwent-CL (DC): B03, B04 , B05 , D16
CPI Codes: B01-D02; B04-E01; B04-F01; B07-D04C; B07-H02; B07-H03; B10-A17; B10-A21; B12-M11F; B14-S03; D05-H12E; D05-H18;

L21: Entry 18 of 24 File: DWPI Jul 20, 1999

DERWENT-ACC-NO: 1999-418284
ABSTRACTED-PUB-NO: US 5925623A

TITLE: New cytofectin:polynucleotide complexes useful for the delivery of biologically active compounds through membrane structures

INT-CL (IPC): A01 N 43/04
Derwent-CL (DC): B04, B05 , D16
CPI Codes: B04-E03; B04-E08; B10-A22; B14-S03; D05-H12B2; D05-H12E;

L21: Entry 19 of 24 File: DWPI Apr 6, 1999

DERWENT-ACC-NO: 1999-262701
ABSTRACTED-PUB-NO: US 5892071A

TITLE: New symmetrical cationic diamine salts

INT-CL (IPC): C07 C 229/30
Derwent-CL (DC): B05, B07 , D16
CPI Codes: B04-B03B; B04-C01; B11-C09; D05-H10;

L21: Entry 20 of 24 File: DWPI Jul 19, 2000

DERWENT-ACC-NO: 1997-225862
ABSTRACTED-PUB-NO: US 5824812A

TITLE: Polyfunctional cationic cytofectins and compsns. for generating active cytofectin:polynucleotide transfection complexes - useful as e.g. transfection agent for gene therapy and in facilitating delivery of macromolecules across membrane walls

INT-CL (IPC): C07 C 217/28, C07 C 217/42, C07 C 219/06, C07 C 219/08, C07 C 229/00
Derwent-CL (DC): B04, B05 , D16
CPI Codes: B04-E01; B10-A21; B10-A22; B10-B02H; B10-B02J; B10-B03B; B14-S03; D05-H;

L21: Entry 21 of 24 File: DWPI Feb 28, 2002

DERWENT-ACC-NO: 1997-132347
ABSTRACTED-PUB-NO: GB 2317562B

TITLE: Drug delivery compsns. for admin. of poorly soluble drugs - comprise a lipid vehicle contg. the drug and vitamin E which enhances the solubility of the drug in the vehicle

INT-CL (IPC): A61 K 0/00, A61 K 9/107, A61 K 9/127, A61 K 47/22
Derwent-CL (DC): B05, B07
CPI Codes: B03-H; B04-B01B; B11-C08;

L21: Entry 22 of 24 File: DWPI Oct 10, 1996

DERWENT-ACC-NO: 1996-464768
ABSTRACTED-PUB-NO: US 6126938A

TITLE: Compsns. for inducing mucosal immune response - comprising several antigenic components for admin. by different routes

INT-CL (IPC): A61 K 38/43, A61 K 39/00, A61 K 39/02, A61 K 39/07, A61 K 39/106, A61 K 39/385, A61 K 39/39, A61 P 1/00, C12 N 9/80, C12 N 15/57
Derwent-CL (DC): B04, D16
CPI Codes: B04-B04C; B04-E03; B04-N04; B14-A01; B14-E10; D05-H07; D05-H17A5;

L21: Entry 23 of 24 File: DWPI Apr 11, 1996

DERWENT-ACC-NO: 1996-209301
ABSTRACTED-PUB-NO: US 5527928A

TITLE: New symmetrical cationic di:amine lipid transport reagents - deliver e.g. polynucleotide(s), polypeptide(s) into and through membrane barriers, useful in lipid vesicles for cell transfection

INT-CL (IPC): C07 C 69/66, C07 C 211/00, C07 C 211/63, C07 C 229/00, C07 C 229/30, C12 N 15/00
Derwent-CL (DC): B04, B05 , D16
CPI Codes: B10-A21; B10-A22; B10-B01B; D05-H;

L21: Entry 24 of 24 File: DWPI May 4, 1999

DERWENT-ACC-NO: 1994-316681
ABSTRACTED-PUB-NO: US 5562922A

TITLE: Localised drug delivery from impregnated polyurethane coating - on substrate, e.g. stent, for slow release to vascular wall to inhibit e.g. thrombosis

INT-CL (IPC): A61 F 2/24, A61 K 9/10, A61 K 47/34, A61 L 27/00, A61 L 29/00, A61 L 31/00, A61 L 33/00, A61 M 25/00, A61 M 25/10, G02 C 7/04
Derwent-CL (DC): A25, A96 , B07 , D22 , P32 , P34 , P81
CPI Codes: A05-G01E; A12-V02; A12-V03B; B04-B01B; B04-B03C; B04-C03D; B06-A03; B10-B03B; B12-M10A; B14-C03; B14-D02A2; B14-F04; B14-F07; B14-H01B; D09-C01; D09-C01A; D09-C01C;

```
et      Items   Description
S1       9099   E3-E20
S2        514   "T-INDEPENDENT //ANTIGENS,"  (ANTIGENS, T-INDEPENDENT)
S3        514   "ANTIGENS, T-INDEPENDENT"
S4         70   (S1 OR S2 OR S3) (100N) (HELICOBACT? OR PYLORI OR PYLORIS -
                  OR PYLORIDIS OR HPYLORI)
S5         60   S4/1998:2002
S6         10   S4 NOT S5
?t s6/9/7 8 9 10
```

Enhanced T-helper 2 lymphocyte responses: immune mechanism of Helicobacter pylori infection.

Fan XG; Yakoob J; Fan XJ; Keeling PW
Department of Clinical Medicine, St. James's Hospital, Dublin.

Irish journal of medical science (IRELAND) Jan-Mar 1996, 165 (1) p37-9, ISSN 0021-1265 Journal Code: GXB
Languages: ENGLISH
Document type: Journal Article; Review; Review, Tutorial
Record type: Completed
Subfile: INDEX MEDICUS

Several lines of evidence implicate **Helicobacter pylori** (H. **pylori**) infection in gastroduodenal inflammation. However, the exact pathogenesis of H. **pylori** infection is not fully understood. T-helper (TH) lymphocytes may be subdivided into **TH1** and TH2 cells based on the distinct patterns of cytokine production. **TH1** reaction is associated with immunity or resistance to infection, while TH2 reaction is associated with the progression or persistence of infection. The production of interferon-gamma (INF-gamma) and interleukin 2 (IL-2), which are type 1 cytokines, is decreased in H. **pylori** infection. Enhanced production of type 2 cytokines (IL-4) and IL-6) is observed in individuals with H. **pylori** infection. Suppressed proliferative responses of peripheral blood and gastric lymphocytes have also been demonstrated in patients with H. **pylori** colonisation, suggesting that specific T-cell responses may be down-regulated by an enhanced TH2 reaction. Suppressed **TH1** and enhanced TH2 responses in H. **pylori** infection may be involved in the immunopathogenesis of chronic H. **pylori** infection. (30 Refs.)

Tags: Human

5. The method of claim 4, wherein the ionic charge is a [illegible].

6. The method of claim 5, wherein the positive charge is due to the pr[illegible] selected from the group consisting of a quaternary ammonium group, a primar[illegible] a tertiary amine.

7. The method of claim 5, wherein the positive charge is due to the presence of a quaternary ammo[illegible]

8. The method of claim 5, wherein the positive charge is due to the presence of a ligand selected from the group consisting of choline, 2-hydroxypropyltrimethylammonium, 2-dimethylaminoethanol, 2-diethylaminoethanol, 2-dimethylaminoethylamine, and 2-diethylaminoethylamine and an amino acid.

9. The method of claim 4, wherein the ionic charge is a negative charge.

10. The method of claim 9, wherein the negative charge is due to the presence of a an anionic or acidic group selected from phosphate, a sulfate, and carboxylate.

11. The method of claim 9, wherein the negative charge is due to the presence of a phosphate group.

12. The method of claim 2, wherein the cross-linked polysaccharide or cross-linked oligosaccharide is coated par-tially or completely with a layer of an amphiphilic compound.

13. The method of claim 12 wherein the amphiphilic compound is a phospholipid or a ceramide

14. The method of claim 13 wherein the phospholipid is selected from the group consisting of phosphatidyl choline, phosphatidyl hydroxycholine, phosphatidyl ethanolamine, phosphatidyl serine, and phosphatidyl glycerol.

15. The method of claim 1, wherein the diameter of the Biovector is 20-200 nm.

16. The method of claim 1, wherein the cross-linked polysaccharide or cross-linked oligosaccharide binds non-specifically to the mucosal surface.

17. The method of claim 1 wherein the Biovector is dispersed.

18. The method of claim 1, wherein the Biovector is dried.

19. The method of claim 19, wherein the dried Biovector is resuspended.

20. The method of claim 1, wherein the pathogen is selected from the group consisting of a virus, a bacterium, a yeast, and a fungus.

21. The method of claim 21, wherein the virus is selected from the group consisting of an influenza virus, a cytomegalovirus, HIV, a papilloma virus, a respiratory syncytial virus, a poliomyelitis virus, a pox virus, a measles virus, an arbor virus, a Coxsackie virus, a herpes virus, a hantavirus, a hepatitis virus, a lyme disease virus, a mumps virus, and a rotavirus.

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Aug 1, 2000

File: USPT

L4: Entry 8 of 15

US-PAT-NO: 6096291
DOCUMENT-IDENTIFIER: US 6096291 A

TITLE: Mucosal administration of substances to mammals

DATE-ISSUED: August 1, 2000

INVENTOR-INFORMATION:				
NAME	CITY	STATE	ZIP CODE	COUNTRY
Betbeder; Didier	Aucamville			FRX
Etienne; Alain	Toulouse			FRX
de Miguel; Ignacio	Toulouse			FRX
Kravtzoff; Roger	Fourquevaux			FRX
Major; Michel	Toulouse			FRX

US-CL-CURRENT: 424/169; 424/111; 424/165; 424/184.1; 424/9.2

CLAIMS:

We claim:

1. A method for the mucosal administration of a vaccine against a pathogen to a mammal, the method comprising contacting a mucosal surface of the mammal with an antigen in combination with a Biovector core, wherein the Biovector core comprises a natural polymer, or a derivative or a hydrolysate of a natural polymer, or a mixture thereof, and wherein the core is uncoated, or is partially or completely coated with no more than one layer, the layer comprising a lipid compound covalently bonded to the core, or an amphiphilic compound.

2. The method of claim 1, wherein the natural polymer is selected from the group consisting of a cross-linked polysaccharide, a cross-linked oligosaccharide, a derivative or hydrolysate of a cross-linked polysaccharide or a cross-linked oligosaccharide, and a mixture thereof.

3. The method of claim 2, wherein the cross-linked polysaccharide and cross-linked oligosaccharide are selected from the group consisting of starch, dextran, dextrin, and maltodextrin.

4. The method of claim 2, wherein 0 to 2 milliequivalents of ionic charge per gram is grafted to the cross-linked polysaccharide or cross-linked oligosaccharide.

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23. The method of claim 22, wherein the virus is an influenza virus.

24. The method of claim 22, wherein the virus is HIV.

25. The method of claim 21, wherein the bacterium is selected from the group consisting of a member of the genus Neisseria, Aerobacter, Pseudomonas, Porphyromonas, Salmonella, Escherichia, Pasteurella, Shigella, Bacillus, Helibacter, Corynebacterium, Clostridium, Mycobacterium, Yersinia, Staphylococcus; Bordetelia, Brucelia, Vibrio, and Streptococcus.

26. The method of claim 21, wherein the pathogen is a member of a genus selected from the group consisting of Plasmodium, Schisostoma, and Candida.

27. The method of claim 1, wherein the antigen is a biological molecule.

28. The method of claim 27, wherein the biological molecule is selected from the group consisting of an amino acid, an oligopeptide, a peptide, a protein, a glycoprotein, and a lipoprotein.

29. The method of claim 1, wherein more than one antigen is administered in combination with the Biovector.

30. The method of claim 2, wherein the antigen is located in the inner core of the cross-linked polysaccharide or cross-linked oligosaccharide.

31. The method of claim 2, wherein the antigen is located at the outer surface of the cross-linked polysaccharide or cross-linked oligosaccharide.

32. The method of claim 12, wherein the antigen is located in the inner core of the amphiphilic compound layer.

33. The method of claim 12, wherein the antigen is located at the outer surface of the layer.

34. The method of claim 1, wherein the antigen is added to the Biovector prior to administration to the mammal.

35. The method of claim 1, wherein the antigen and the Biovector are mixed together at the time of administration to the mammal.

36. The method of claim 1, wherein the mucosal surface is selected from the group consisting of a nasal, buccal, oral, vaginal, ocular, auditory, pulmonary tract, urethral, digestive tract, and rectal surface.

37. The method of claim 36, wherein the mucosal surface is selected from the group consisting of a nasal, vaginal, and ocular surface.

L4: Entry 10 of 15 File: USPT Jan 25, 2000

US-PAT-NO: 6017513
DOCUMENT-IDENTIFIER: US 6017513 A

TITLE: Mucosal administration of substances to mammals

DATE-ISSUED: January 25, 2000

INVENTOR-INFORMATION:

NAME	CITY	STATE	ZIP CODE	COUNTRY
Betbeder; Didier	Aucamville			FRX
Etienne; Alain	Toulouse			FRX
de Miguel; Ignacio	Plaisance du Touch			FRX
Kravtzoff; Roger	Fourquevaux			FRX
Major; Michel	Toulouse			FRX

US-CL-CURRENT: 424/1.73; 424/1.11, 424/1.53, 424/1.65

CLAIMS:

We claim:

1. A method for the mucosal administration of a substance to a mammal, the method comprising contacting a mucosal surface of the mammal with the substance in combination with a Biovector core, wherein the Biovector core comprises a natural polymer or a hydrolysate of a natural polymer, or a mixture thereof, and wherein the core is uncoated; or is partially or completely coated with no more than one layer, the layer comprising a lipid compound covalently bonded to the core, or an amphiphilic compound.

2. The method of claim 1, wherein the natural polymer is selected from the group consisting of a cross-linked polysaccharide, a cross-linked oligosaccharide, or hydrolysate of a cross-linked polysaccharide or a cross-linked oligosaccharide, and a mixture thereof.

3. The method of claim 2, wherein the cross-linked polysaccharide and cross-linked oligosaccharide are selected from the group consisting of starch, dextran, dextrin, and maltodextrin.

4. The method of claim 2, wherein 0 to 2 milliequivalents of ionic charge per gram is grafted to the cross-linked polysaccharide or cross-linked oligosaccharide.

5. The method of claim 4, wherein the ionic charge is a positive charge.

6. The method of claim 5, wherein the positive charge is due to the presence of a cationic or basic group selected from the group consisting of a quaternary ammonium group, a primary amine, a secondary amine, and a tertiary amine.

7. The method of claim 5, wherein the positive charge is due to the presence of a quaternary ammonium group.

8. The method of claim 5, wherein the positive charge is due to the presence of a ligand selected from the group consisting of choline, 2-hydroxypropyltrimethylammonium, 2-dimethylaminoethanol, 2-diethylaminoethanol, 2-dimethylaminoethylamine, and 2-diethylaminoethylamine and an amino acid.

9. The method of claim 4, wherein the ionic charge is a negative charge.

10. The method of claim 9, wherein the negative charge is due to the presence of a an anionic or acidic group selected from phosphate, a sulfate, and carboxylate.

11. The method of claim 9, wherein the negative charge is due to the presence of a phosphate group.

12. The method of claim 2, wherein the cross-linked polysaccharide or cross-inked oligosaccharide is coated partially or completely with a layer of an amphiphilic compound.

13. The method of claim 12 wherein the amphiphilic compound is a phospholipid or a ceramide.

14. The method of claim 13 wherein the phospholipid is selected from the group consisting of phosphatidyl choline, phosphatidyl hydroxycholine, phosphatidyl ethanolamine, phosphatidyl serine, and phosphatidyl glycerol.

15. The method of claim 1, wherein the diameter of the Biovector is 20-200 mn.

16. The method of claim 1, wherein the diameter of the Biovector is 20-100 nm.

17. The method of claim 1, wherein the cross-linked polysaccharide or cross-linked oligosaccharide binds non-specifically to the mucosal surface.

18. The method of claim 1, wherein the Biovector is dispersed.

19. The method of claim 1, wherein the Biovector is dried.

20. The method of claim 19, wherein the dried Biovector is resuspended.

21. The method of claim 1, wherein the substance is a therapeutic agent, a prophylactic agent, or a diagnostic agent.

22. The method of claim 21, wherein the therapeutic agent is selected from the group consisting of a radiopharmaceutical, an analgesic drug, an anesthetic agent, an anorectic agent, an anti-anemia agent, an anti-asthma agent, an anti-diabetic agent, an antihistamine, an anti-inflammatory drug, an antibiotic drug, an antimuscarinic agent, an anti-neoplastic drug, an antiviral drug, a cardiovascular drug, a central nervous system stimulator, a central nervous system depressant, an anti-depressant, an anti-epileptic, an anxyolitic agent, a

hypnotic agent, a sedative, an anti-psychotic drug, a beta blocker, a hemostatic agent, a hormone, a vasodilator, a vasoconstrictor, and a vitamin.

23. The method of claim 21, wherein the prophylactic agent is a vaccine against a pathogen.

24. The method of claim 1, wherein the pathogen is selected from the group consisting of a virus, a bacterium, a yeast, and a fungus.

25. The method of claim 24, wherein the virus is selected from the group consisting of an influenza virus, a cytomegalovirus, HIV, a papilloma virus, a respiratory syncytial virus, a poliomyelitis virus, a pox virus, a measles virus, an arbor virus, a Coxsackie virus, a herpes virus, a hantavirus, a hepatitis virus, a lyme disease virus, a mumps virus, and a rotavirus.

26. The method of claim 25, wherein the virus is an influenza virus.

27. The method of claim 25, wherein the virus is HIV.

28. The method of claim 24, wherein the bacterium is selected from the group consisting of a member of the genus Neisseria, Aerobacter, Pseudomonas, Porphyromonas, Salmonella, Escherichia, Pasteurella, Shigella, Bacillus, Helibacter, Corynebacterium, Clostridium, Mycobacterium, Yersinia, Staphylococcus; Bordetella, Brucella, Vibrio, and Streptococcus.

29. The method of claim 24, wherein the pathogen is a member of a genus selected from the group consisting of Plasmodium, Schisostoma, and Candida.

30. The method of claim 21, wherein the diagnostic agent is a contrast agent or an imaging agent.

31. The method of claim 21, wherein the diagnostic agent detects corneal irregularities.

32. The method of claim 21, wherein the diagnostic agent is labeled with a detectable group.

33. The method of claim 32, wherein the detectable group is selected from the group consisting of a radioactive group, a magnetic group, and a fluorescent group.

34. The method of claim 1, wherein the substance is a small chemical molecule.

35. The method of claim 34, wherein the small chemical molecule is selected from the group consisting of an organic molecule, an inorganic molecule, and an organo-metallic molecule.

36. The method of claim 1, wherein the substance is a biological molecule.

37. The method of claim 36, wherein the biological molecule is selected from the group consisting of an amino acid, an oligopeptide, a peptide, a protein, a glycoprotein, a lipoprotein, a proteoglycan, a lipopolysaccharide, a fatty acid, an eicosanoid, a lipid, a triglyceride, a phospholipid, a glycolipid, a nucleoside, a nucleotide, a nucleic acid, a DNA molecule, an RNA molecule, a monosaccharide, an oligosaccharide, and a polysaccharide.

38. The method of claim 1, wherein more than one substance is administered in combination with the Biovector.

39. The method of claim 2, wherein the substance is located in the inner core of the cross-linked

polysaccharide or cross-linked oligosaccharide.

40. The method of claim 2, wherein the substance is located at the outer surface of the cross-linked polysaccharide or cross-linked oligosaccharide.

41. The method of claim 12, wherein the substance is located in the inner core of the amphiphilic compound layer.

42. The method of claim 12, wherein the substance is located at the outer surface of the layer.

43. The method of claim 1, wherein the substance is added to the Biovector prior to administration to the mammal.

44. The method of claim 1, wherein the substance and the Biovector are mixed together at the time of administration to the mammal.

45. The method of claim 1, wherein the mucosal surface is selected from the group consisting of a nasal, buccal, oral, vaginal, ocular, auditory, pulmonary tract, urethral, digestive tract, and rectal surface.

46. The method of claim 45, wherein the mucosal surface is selected from the group consisting of a nasal, vaginal, and ocular surface.

47. The method of claim 1, wherein the natural polymer is grafted with ionic ligands.

48. The method of claim 2, wherein the cross-linked polysaccharide or oligosaccharide is grafted with ionic ligands.

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L12: Entry 49 of 57 File: USPT Feb 1, 1994

QS 21
DC-Chol
Bay R1005

DOCUMENT-IDENTIFIER: US 5283185 A
TITLE: Method for delivering nucleic acids into cells

Detailed Description Paragraph Right (27):
Plasmid DNA, pUCSV2CAT, was used as a model compound for polyanions because it contains a structural gene for CAT. The efficiency of intracellular delivery can be readily assayed by the expression of CAT activity in the extracted proteins of the treated cells. Table 1 lists the CAT activity of mouse L929 cells which have been transfected with this plasmid DNA as mediated by various cationic lipid dispersions. In addition, we have also measured the inhibitory activity of the pure cationic cholesterol derivatives on diolein, phosphatidyl serine (PS), and Ca.sup.2+ stimulated protein kinase C. This activity was expressed as an IC.sub.50, which is the concentration at which 50% of PKC activity was inhibited. As can be seen from Table I, derivatives giving low IC.sub.50 values, i.e., those strong PKC inhibitors, were not a good delivery vehicle for DNA. For example, compounds IV, XI, VI, and XIII, all having a IC.sub.50 value less than 20 .mu.M, produced minimal CAT activities in the treated cells. Among the ones which gave rise to high CAT activities, derivatives with a single tertiary amino group (compounds VIII, VIX and III) were more effective in delivering DNA than similar analogs containing a single quaternary amino group (compounds IX and IV). Furthermore, among the derivatives with the same amino head group, those containing a longer spacer arm (compounds VIII and IX) delivered a greater quantity of DNA than those containing a shorter spacer arm (compounds X, XI, V, VI and XV) were generally less effective delivery vehicles.

CLAIMS:

1. A method for facilitating the transfer of nucleic acids into mammalian cells with a stable aqueous dispersion of mixed lipids which dispersion comprises:

a cationic lipid which is a weak protein kinase C inhibitor and has a structure which includes a lipophilic group derived from cholesterol, a linker bond, selected from the group consisting of carboxy amides and carbamoyls, a spacer arm having from 1 to 20 carbon atoms in a linear branched or unbranched alkyl chain, and a cationic amino group selected from the group consisting of primary, secondary, tertiary and quaternary amino groups, and a co-lipid selected from the groups consisting of phosphatidylcholine and phosphatidylethanolamine

which method comprises mixing said aqueous dispersion of mixed lipids with the nucleic acids, thereby forming a dispersion/nucleic acids complex, and

incubating the cells to be transfected with the complex, thereby facilitating the transfer of the nucleic acids into the cells.

10. A method for facilitating the transfection of nucleic acids into mammalian cells which comprises incubating the cells to be transfected with a complex which comprises a mixture of nucleic acids and a stable aqueous dispersion of a cationic lipid and a co-lipid, the cationic lipid being a weak protein kinase C inhibitor and having a structure which includes a lipophilic group derived from cholesterol, a linker bond, selected from the group consisting of carboxy amides and carbamoyls, a spacer arm having from 1 to 20 carbon atoms in a linear branched or unbranched alkyl chain, and a cationic amino group selected from the group consisting of primary, secondary, tertiary and quaternary amino groups and the co-lipid being selected from the group consisting of phosphatidylcholine and phosphatidylethanolamine.

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L12: Entry 13 of 57 File: USPT Mar 26, 2002

DOCUMENT-IDENTIFIER: US 6361791 B1
TITLE: Stable aqueous dispersions including cationic lipids

Detailed Description Paragraph Right (43):
Plasmid DNA, pUCSV2CAT, was used as a model compound for polyanions because it contains a structural gene for CAT. The efficiency of intracellular delivery can be readily assayed by the expression of CAT activity in the extracted proteins of the treated cells. Table 1 lists the CAT activity of mouse L929 cells which have been transfected with this plasmid DNA as mediated by various cationic lipid dispersions. In addition, the inhibitory activity of the pure cationic cholesterol derivatives on diolefin, phosphatidyl serine (PS), and Ca.sup.2+ stimulated protein kinase C was also measured. This activity was expressed as an IC.sub.50, which is the concentration at which 50% of PKC activity was inhibited. As can be seen from Table I, derivatives giving low IC.sub.50 values, i.e., those strong PKC inhibitors, were not a good delivery vehicle for DNA. For example, compounds IV, XI, VI and XIII, all having a IC.sub.50 value less than 20 .mu.M, produced minimal CAT activities in the treated cells. Among the ones which gave rise to high CAT activities, derivatives with a single tertiary amino group (compounds VIII, VI and III) were more effective in delivering DNA than similar analogs containing a single quaternary amino group (compounds IX and IV). Furthermore, among the derivatives with the same amino head group, those containing a longer spacer arm (compounds VIII and IX) delivered a greater quantity of DNA than those containing a shorter spacer arm (compounds X, XI, V and XV) were generally less effective delivery vehicles.

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L12: Entry 4 of 57 File: PGPB Feb 28, 2002

DOCUMENT-IDENTIFIER: US 20020025337 A1
TITLE: LIPID VEHICLE DRUG DELIVERY COMPOSITION CONTAINING VITAMIN E

Summary of Invention Paragraph (34):
[0034] Drugs that are especially suitable for the emulsion formulation are antifungal agents such as itraconazole, anticancer agents such as taxol, hexamethylmelamine, penclomedine and lipophilic porphyrin derivatives, steroids such as pregnanolone, anaesthetic agents such as propofol (diisopropyl phenol), retinoid compounds, cardiovascular agents such as S-emapomil, agents such as prostaglandins, lipophilic peptides such as cyclosporin, and protein kinase C inhibitors such as dihydrosphingasine.

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L12: Entry 15 of 57 File: USPT Nov 20, 2001

DOCUMENT-IDENTIFIER: US 6319516 B1
TITLE: Acid salts of cholesterol

Detailed Description Paragraph Right (33):
Plasmid DNA, pUCSV2CAT, was used as a model compound for polyanions because it contains a structural gene for CAT. The efficiency of intracellular delivery can be readily assayed by the expression of CAT activity in the extracted proteins of the treated cells. Table 1 lists the CAT activity of mouse L929 cells which have been transfected with this plasmid DNA as mediated by various cationic lipid dispersions. In addition, the inhibitory activity of the pure cationic cholesterol derivatives on diolefin, phosphatidyl serine (PS), and Ca.sup.2+ stimulated protein kinase C was also measured. This activity was expressed as an IC.sub.50, which is the concentration at which 50% of PKC activity was inhibited. As can be seen from Table I, derivatives giving low IC.sub.50 values, i.e., those strong PKC inhibitors, were not a good delivery vehicle for DNA. For example, compounds IV, XI, VI and XIII, all having a IC.sub.50 value less than 20 .mu.M, produced minimal CAT activities in the treated cells. Among the ones which gave rise to high CAT activities, derivatives with a single tertiary amino group (compounds VIII, VI and III) were more effective in delivering DNA than similar analogs containing a single quaternary amino group (compounds IX and IV). Furthermore, among the derivatives with the same amino head group, those containing a longer spacer arm (compounds VIII and IX) delivered a greater quantity of DNA than those containing a shorter spacer arm (compounds X, XI, V, VI and XV) were generally less effective delivery vehicles.

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L12: Entry 17 of 57 File: USPT Nov 13, 2001

DOCUMENT-IDENTIFIER: US 6316421 B1
TITLE: Pentaerythritol lipid derivatives and nuleic-acid complexes

Brief Summary Paragraph Right (5):
Lipid-associated cytotoxicity has been attributed to the inhibition of protein kinase C activity by cationic lipids after internalization of the lipoplex. This is presumably a consequence of cationic lipid incorporation into the plasma membrane. In addition, transfection is attributed to the formation of transmembrane pores. There are also resultant disruptions of signal transduction and gene regulation processes which impair cellular function. It is possible that enhanced clearance of the cationic lipids might alleviate the cytotoxicity.

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L12: Entry 24 of 57 File: USPT Mar 28, 2000

DOCUMENT-IDENTIFIER: US 6043390 A
TITLE: Pentaerythritol lipid derivatives and nucleic-acid complexes

Brief Summary Paragraph Right (5):
Lipid-associated cytotoxicity has been attributed to the inhibition of protein kinase C activity by cationic lipids after internalization of the lipoplex. This is presumably a consequence of cationic lipid incorporation into the plasma membrane. In addition, transfection is attributed to the formation of transmembrane pores. There are also resultant disruptions of signal transduction and gene regulation processes which impair cellular function. It is possible that enhanced clearance of the cationic lipids might alleviate the cytotoxicity.

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L12: Entry 29 of 57 File: USPT Jul 20, 1999

DOCUMENT-IDENTIFIER: US 5925623 A
TITLE: Formulations and methods for generating active cytofectin: polynucleotide transfection complexes

Brief Summary Paragraph Right (7):
A few such lipid delivery systems for transporting DNA, proteins, and other chemical materials across membrane boundaries have been synthesized by research groups and business entities. Most of the synthesis schemes are relatively complex and generate lipid based delivery systems having only limited transfection abilities. A need exists in the field of gene therapy for cationic lipid species that have a high biopolymer transport efficiency. It has been known for some time that a very limited number of certain quaternary ammonium derivatized (cationic) liposomes spontaneously associate with DNA, fuse with cell membranes, and deliver the DNA into the cytoplasm (as noted above, these species have been termed "cytofectins"). LIPOFECTIN.TM. represents a first generation of cationic liposome formulation development. LIPOFECTIN.TM. is composed of a 1:1 formulation of the quaternary ammonium containing compound DOTMA and dioleoylphosphatidylethanolamine sonicated into small unilamellar vesicles in water. Problems associated with LIPOCFECTIN.TM. include non-metabolizable ether bonds, inhibition of protein kinase C activity, and direct cytotoxicity. In response to these problems, a number of other related compounds have been developed. The monoammonium compounds of the subject invention improve upon the capabilities of existing cationic liposomes and serve as a very efficient delivery system for biologically active chemicals.

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L12: Entry 35 of 57 File: USPT Apr 6, 1999

DOCUMENT-IDENTIFIER: US 5892071 A
TITLE: Cationic transport reagents

Brief Summary Paragraph Right (5):
Safe, non-viral vector methods for transfection or gene therapy are essential. A few such safe lipid delivery systems for transporting DNA, proteins, and other chemical materials across membrane boundaries have been synthesized by research groups and business entities. Most of the synthesis schemes are relatively complex and generate transporters having only limited transfection abilities. A need exists in the field of cationic lipid transporters for cationic species that have a high biopolymer transport efficiency. It has been known for some time that quaternary ammonium derivatized (cationic) liposomes spontaneously associate with DNA, fuse with cell membranes, and deliver the DNA into the cytoplasm. LIPOFECTIN.TM. represents a first generation of cationic liposome formulation development. LIPOFECTIN.TM. is composed of a 1:1 formulation of the quaternary ammonium containing compound DOTMA and dioleoylphosphatidylethanolamine sonicated into small unilamellar vesicles in water. One problem with LIPOFECTIN.TM. is that it contains non-metabolizable ether bonds. Other problems with LIPOFECTIN.TM. are an inhibition of protein kinase C activity and direct cytotoxicity. In response to these problems, a number of other related compounds have been developed. The diamine compounds of the subject invention improve upon the capabilities of existing cationic transporters and serve as very efficient delivery means for biologically active chemicals.

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L12: Entry 46 of 57 File: USPT Jun 4, 1996

DOCUMENT-IDENTIFIER: US 5523294 A
TITLE: Di-lysoganglioside derivatives

Brief Summary Paragraph Right (16):
An improved activity on neuronal sprouting and the conduction of nervous stimuli are also presented by the "outer" esters of gangliosides, that is, esters of the carboxy functions of sialic acids with various alcohols of the aliphatic, araliphatic, alicyclic or heterocyclic series. The amides of gangliosides also possess the same property, as well as the peracylated derivatives both of amides and esters, or of simple gangliosides. All of these derivatives, which are described in U.S. Pat. No. 4,713,374, are also to be considered basic substances for the new N-acylated derivatives of the present invention. At the basis of the present invention is the discovery that the new acyl-di-lysogangliosides and their aforesaid functional derivatives or their salts possess essentially the same pharmacological actions as natural gangliosides or their analogous functional derivatives, with a range of action which is modified in respect to many parameters, such as onset rate, duration and intensity of the sprouting action of neuronal cells. This range of action can be regulated according to the greater or lesser lipophilic or hydrophilic character of the acyl component, or the type and degree of side effects, which can in some cases be positive or negative according to the therapeutic problem to be tackled, such as above all the inhibiting activity of protein kinase C. In many cases it is possible to use the new derivatives to exploit the action of acids corresponding to a certain acyl group, bypassing the specific action of the ganglioside part, which in such cases has the function of a vehicle. Such is the case, for example, of the new compounds according to the invention, in which N-and N'-acyl groups are derived from an acid which has an action on the central or peripheral nervous system, such as .gamma.-aminobutyric acid. The new acyl-di-lysogangliosides of the present invention can therefore be used instead of natural products or their already known semisynthetic derivatives and they are valuable surrogates in cases where patients do not respond satisfactorily to treatment with conventional products or in cases presenting individual peculiarities or allergies. As already mentioned, they can be used as vehicles because of the specific pharmacological action of the acid corresponding to the N-acyl group. The aforesaid pharmacological properties of the new N,N'-diacyl-di-lysogangliosides can be illustrated by the following experiments conducted in vitro on N,N'-di-dichloroacetyl-di-lyso GM.sub.1.

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L12: Entry 47 of 57 File: USPT Jan 16, 1996

DOCUMENT-IDENTIFIER: US 5484775 A
TITLE: Semisynthetic ganglioside analogues

Brief Summary Paragraph Right (25):
The basis of the present invention is the discovery that the new semisynthetic ganglioside analogues described therein and their aforesaid functional derivatives or their salts possess essentially the same pharmacological actions as natural gangliosides or their analogous functional derivatives, with a range of action which is modified in many parameters, such as speed of the "onset", duration and intensity of the sprouting phenomenon of neuronal cells, which may be regulated according to the greater or lesser lipophilic or hydrophilic character of the acyl component, or the type and entity of the side effects, which may in some cases be of a negative or positive kind according to the therapeutic problem being treated, such as above all the inhibiting activity of protein kinase C. In many cases it is possible to use the new derivatives to exploit the particular action of acids corresponding to a given acyl group, disregarding the specific action of the ganglioside part, which in such cases acts primarily as a vehicle. Such is the case, for example, of new compounds according to the invention, in which the N- and N'-acyl groups are derived from an acid which has an action on the central or peripheral nervous system, such as .gamma.-amino-butyric acid.

US 094039670KP1

Creation date: 03-19-2004
Indexing Officer: KHALL - KIM HALL
Team: OIPEBackFileIndexing
Dossier: 09403967

Legal Date: 10-22-2002

No.	Doccode	Number of pages
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4	REM	13

Total number of pages: 18

Remarks:

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